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141:314170

TITLE:

4-Substituted quinoline derivatives, the preparation thereof and compositions containing same, useful as

antimicrobials

INVENTOR(S):

Bigot, Antony; El Ahmad, Youssef; Malleron, Jean Luc; Martin, Jean Paul; Mignani, Serge; Pantel, Guy; Ronan,

Baptiste; Tabart, Michel

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Aventis Pharma SA, Fr. Fr. Demande, 67 pp.

CODEN: FRXXBL

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French

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PATENT INFORMATION: .

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	FR 2852954						20041001			FR 2003-3812				20030328				
FR	FR 2852954				B1 2006071			0714										
US	US 2004224946				A1	A1 2004111			US 2004-810711						20040326			
UA	AU 2004226207				A1	A1 20041014			AU 2004-226207						20040329			
CA					AA					CA 2004-2520764								
WO	2004087647				A2	20041014			WO 2004-FR783						20040329			
WO	2004087647				A3		2005	0127	•									
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	KZ,	LC,	
•		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
							TZ,											
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		BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	
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		TD,	TG															
EP									EP 2004-742385									
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							2006	0628		CN 2004-80014510					20040329			
PRIORITY	PRIORITY APPLN. INFO.:										003-							
· ·										US 2003-487084P					P 20030714			
										WO 2	004-	FR78	3	V	1 2	040	329	
OTHER SO	URCE	(S):			MARI	TAS	141:3	3141	70									

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AB Quinoline-4-substituted derivs. I are disclosed [wherein X, Y, Z, U, T = C-R1' to CR5' resp., or one or more is a N atom; R1, R1', R2', R3', R4',

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -AVAILABLE VIA OFFLINE PRINT \*

R5' = independently H, halo, cyclo/alkyl, Ph,phenylthio, mono or bicyclic hetero(aryl)thio, OH and derivs., SH and derivaitves, NH2 and derivaitves, acyl, OCF3, OCHF2, CN, CO2H and derivaitves, NO2, etc.; D = CHR, CO, CROH, CRF, CF2; R = H, alkyl; A = (CH2)m; m = 1-3; B = (CH2)n; n = 0-2; E = CH2, and when Z = 0, S, S0, S02, then n = 2; R2 = C02R, CH2CH2C02R, CH2OH, CH2CH2OH, where R is defined as above; R3 = Ph, mono or bicyclic heteroaryl, alkylene-R3'', etc.; R3'' = H, halo, OH and derivs., alkylthio, akylsulfinyl, alkylsulfonyl, alkylamino, cycloalkyl, acyl, Ph, OPh, heteroaryloxy, mono and bicyclic heteroaryl, NH2 and derivs., CONH2 and derivs., etc.; their enantiomers or diastereoisomers or their mixts., and/or their syn or anti forms or their mixts.; and their salts]. The novel derivs. are particularly interesting as antimicrobial agents. For example, II was prepared by amination of 2-[(E)-3-chloro-1-propenyl]-1,4difluorobenzene (preparation given) with amine salt III-2HCl, followed by acidic hydrolysis. Compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at 5-50 mg/kg s.c. or orally. None of the compds. showed toxicity in mice at 50 mg/kg s.c. (2 administrations).

TT 767355-37-3P, 2-[3-(3-Fluoro-6-methoxyquinolin-4-yl)propyl]morpholine-2-carboxylic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 4-substituted quinolines as antimicrobials) 767355-37-3 HCAPLUS

2-Morpholinecarboxylic acid, 2-[3-(3-fluoro-6-methoxy-4-quinolinyl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

RN

CN

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT